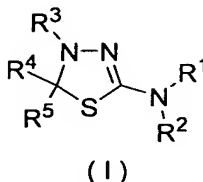


What is claimed is:

1. A mitotic kinesin Eg5 inhibitor which comprises a thiadiazoline derivative represented by the general formula (I) or a pharmacologically acceptable salt thereof as an active ingredient:



<wherein R¹ represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted heterocyclic group;

R² represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted heterocyclic group,

-C(=W)R⁶ [wherein W represents an oxygen atom or a sulfur atom, and R⁶ represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, -NR⁷R⁸ (wherein R⁷ and R⁸ are the same or different and each represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted heterocyclic group, or R⁷ and R⁸ are combined together with the adjacent nitrogen atom to form a substituted or unsubstituted heterocyclic group), -OR⁹ (wherein R⁹ represents substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted heterocyclic group) or -SR¹⁰ (wherein R¹⁰ has the same meaning as that of the aforementioned R⁹)], -NR¹¹R¹² {wherein R¹¹ and R¹² are the same or different and each represents a hydrogen atom, substituted or unsubstituted

lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, $-C(=O)R^{13}$ [wherein R^{13} represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, $-NR^{14}R^{15}$ (wherein R^{14} and R^{15} are the same or different and each represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted heterocyclic group, or R^{14} and R^{15} are combined together with the adjacent nitrogen atom to form a substituted or unsubstituted heterocyclic group), $-OR^{16}$ (wherein R^{16} has the same meaning as that of the aforementioned R^9), or $-SR^{17}$ (wherein R^{17} has the same meaning as that of the aforementioned R^9)], or

R^{11} and R^{12} are combined together with the adjacent nitrogen atom to form a substituted or unsubstituted heterocyclic group}, or $-SO_2R^{18}$ (wherein R^{18} represents substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted heterocyclic group), or

R^1 and R^2 are combined together with the adjacent nitrogen atom to form a substituted or unsubstituted heterocyclic group,

R^3 represents a hydrogen atom, or $-C(=Z)R^{19}$ [wherein Z represents an oxygen atom or a sulfur atom, and R^{19} represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group,

$-NR^{20}R^{21}$ (wherein R^{20} and R^{21} are the same or different and each represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted heterocyclic group, or R^{20} and R^{21} are combined together with the

adjacent nitrogen atom to form a substituted or unsubstituted heterocyclic group), -OR²² (wherein R²² represents substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted heterocyclic group), or -SR²³ (wherein R²³ has the same meaning as that of the aforementioned R²²)],

R⁴ represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted heterocyclic group, and

R⁵ represents substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted heterocyclic group, or

R⁴ and R⁵ are combined together to represent -(CR^{25A}R^{25B})_{m1}Q(CR^{25C}R^{25D})_{m2} {wherein Q represents a single bond, substituted or unsubstituted phenylene or cycloalkylene, m1 and m2 are the same or different and each represents an integer of from 0 to 4, with the proviso that m1 and m2 are not 0 at the same time, R^{25A}, R^{25B}, R^{25C} and R^{25D} are the same or different and each represents a hydrogen atom, halogen, substituted or unsubstituted lower alkyl, -OR²⁶ [wherein R²⁶ represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, -CONR²⁷R²⁸ (wherein R²⁷ and R²⁸ are the same or different and each represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted heterocyclic group, or R²⁷ and R²⁸ are combined together with the adjacent nitrogen atom to form a substituted or unsubstituted heterocyclic group), -SO₂NR²⁹R³⁰ (wherein R²⁹ and R³⁰ have the same meanings as those of the aforementioned R²⁷ and R²⁸, respectively), or -COR³¹ (wherein R³¹ represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or

a substituted or unsubstituted heterocyclic group)], -NR³²R³³ [wherein R³² and R³³ are the same or different and each represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, -COR³⁴ (wherein R³⁴ represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, substituted or unsubstituted lower alkoxy, substituted or unsubstituted aryloxy, amino, substituted or unsubstituted lower alkylamino, substituted or unsubstituted di-(lower alkyl)amino, or substituted or unsubstituted arylamino), or -SO₂R³⁵ (wherein R³⁵ represents substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted heterocyclic group)], or -COOR³⁶ (wherein R³⁶ represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, or a substituted or unsubstituted heterocyclic group), or R^{25A} and R^{25B}, or R^{25C} and R^{25D} are combined together to represent an oxygen atom, and when m1 or m2 is an integer of 2 or above, any of R^{25A}, R^{25B}, R^{25C} and R^{25D} may be the same or different, and any two of R^{25A}, R^{25B}, R^{25C} and R^{25D} which are bound to the adjacent two carbon atoms may be combined to form a bond}>.

2. The mitotic kinesin Eg5 inhibitor according to claim 1, wherein R² is -C(=W)R⁶ (wherein W and R⁶ have the same meanings as those mentioned above, respectively).

3. The mitotic kinesin Eg5 inhibitor according to claim 2, wherein R⁶ is substituted or unsubstituted lower alkyl.

4. The mitotic kinesin Eg5 inhibitor according to any one of claims 1 to 3, wherein R³ is -C(=Z)R¹⁹ (wherein Z and R¹⁹ have the same meanings as those mentioned above, respectively).

5. The mitotic kinesin Eg5 inhibitor according to claim 4, wherein R¹⁹ is substituted or unsubstituted lower alkyl.

6. The mitotic kinesin Eg5 inhibitor according to any one of claims 1 to 5, wherein R⁵ is substituted or unsubstituted aryl, or a substituted or unsubstituted aromatic heterocyclic group.

7. The mitotic kinesin Eg5 inhibitor according to any one of claims 1 to 5, wherein R⁵ is substituted or unsubstituted aryl.

8. The mitotic kinesin Eg5 inhibitor according to any one of claims 1 to 7, wherein R⁴ is substituted or unsubstituted lower alkyl, or -(CH₂)_nNHSO₂R²⁴ (wherein n represents 1 or 2, and R²⁴ represents substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, amino, lower alkylamino, or di-(lower alkyl)amino).

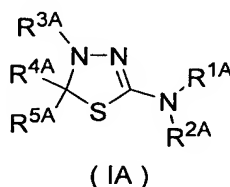
9. The mitotic kinesin Eg5 inhibitor according to any one of claims 1 to 5, wherein R⁴ and R⁵ are combined together to represent -(CR^{25A}R^{25B})_{m1}Q(CR^{25C}R^{25D})_{m2} (wherein R^{25A}, R^{25B}, R^{25C}, R^{25D}, m₁, m₂ and Q have the same meanings as those mentioned above, respectively).

10. The mitotic kinesin Eg5 inhibitor according to claim 9, wherein Q is substituted or unsubstituted phenylene.

11. The mitotic kinesin Eg5 inhibitor according to any one of claims 1 to 10, wherein R¹ is a hydrogen atom.

12. The mitotic kinesin Eg5 inhibitor according to any one of claims 1 to 11, wherein W and Z are oxygen atoms.

13. A thiadiazoline derivative represented by the general formula (IA) or a pharmacologically acceptable salt thereof:



<wherein R^{1A} represents a hydrogen atom,

R^{2A} represents a hydrogen atom or -COR^{6A} (wherein R^{6A} represents substituted or unsubstituted lower alkyl), or R^{1A} and R^{2A} are combined together with the adjacent nitrogen atom to form a substituted or unsubstituted heterocyclic group,

R^{3A} represents -COR^{19A} (wherein R^{19A} represents substituted or unsubstituted lower alkyl),

R^{4A} represents -(CH₂)_pNR^{4AA}R^{4AB} [wherein p represents 1 or 2, and R^{4AA} and R^{4AB} are

the same or different and each represents a hydrogen atom, lower alkyl or cycloalkyl (with the proviso that when R^{2A} is $-COR^{6A}$, R^{6A} and R^{19A} are tert-butyl and R^{5A} is phenyl, R^{4AA} and R^{4AB} are not methyl at the same time)], $-(CH_2)_pNR^{4AD}COR^{4AC}$ (wherein p has the same meaning as that mentioned above, R^{4AC} represents a hydrogen atom, lower alkyl or lower alkoxy, and R^{4AD} represents a hydrogen atom or lower alkyl), or $-(CH_2)_pNHSO_2R^{24A}$ {wherein p has the same meaning as that mentioned above, R^{24A} represents $-(CH_2)_qNR^{24AA}R^{24AB}$ [wherein q represents an integer of from 0 to 5, and R^{24AA} and R^{24AB} are the same or different and each represents a hydrogen atom, substituted or unsubstituted lower alkyl or cycloalkyl (with the proviso that when R^{2A} is $-COR^{6A}$, R^{6A} is tert-butyl and R^{19A} is methyl or tert-butyl, neither of R^{24AA} and R^{24AB} is methyl, and if one of R^{24AA} and R^{24AB} is a hydrogen atom in this case, the other is not ethyl or hydroxyethyl)], 3-chloropropyl, 3-azidopropyl or lower alkenyl (with the proviso that when R^{2A} is $-COR^{6A}$, R^{6A} is tert-butyl and R^{19A} is methyl or tert-butyl, R^{24A} is not vinyl)}, and R^{5A} represents substituted or unsubstituted aryl or a substituted or unsubstituted aromatic heterocyclic group>.

14. The thiadiazoline derivative or a pharmacologically acceptable salt thereof according to claim 13, wherein R^{5A} is substituted or unsubstituted aryl.

15. The thiadiazoline derivative or a pharmacologically acceptable salt thereof according to claim 13, wherein R^{5A} is phenyl.

16. The thiadiazoline derivative or a pharmacologically acceptable salt thereof according to any one of claims 13 to 15, wherein R^{2A} is COR^{6A} , and R^{6A} is unsubstituted lower alkyl.

17. The thiadiazoline derivative or a pharmacologically acceptable salt thereof according to any one of claims 13 to 15, wherein R^{2A} is COR^{6A} , and R^{6A} is tert-butyl.

18. The thiadiazoline derivative or a pharmacologically acceptable salt thereof according to any one of claims 13 to 17, wherein R^{19A} is unsubstituted lower alkyl.

19. The thiadiazoline derivative or a pharmacologically acceptable salt thereof according to any one of claims 13 to 17, wherein R^{19A} is tert-butyl.

20. The thiadiazoline derivative or a pharmacologically acceptable salt thereof according to any one of claims 13 to 19, wherein R^{4A} is $-(CH_2)_pNR^{4AA}R^{4AB}$

(wherein p, R^{4AA} and R^{4AB} have the same meanings as those mentioned above, respectively).

21. The thiadiazoline derivative or a pharmacologically acceptable salt thereof according to any one of claims 13 to 19, wherein R^{4A} is $-(CH_2)_pNR^{4AD}COR^{4AC}$ (wherein p, R^{4AC} and R^{4AD} have the same meanings as those mentioned above, respectively).

22. The thiadiazoline derivative or a pharmacologically acceptable salt thereof according to any one of claims 13 to 19, wherein R^{4A} is $-(CH_2)_pNHSO_2R^{24A}$ (wherein p and R^{24A} have the same meanings as those mentioned above, respectively).

23. A medicament which comprises the thiadiazoline derivative or a pharmacologically acceptable salt thereof according to any one of claims 13 to 22 as an active ingredient.

24. A mitotic kinesin Eg5 inhibitor which comprises the thiadiazoline derivative or a pharmacologically acceptable salt thereof according to any one of claims 13 to 22 as an active ingredient.

25. A method for inhibiting a mitotic kinesin Eg5 which comprises administering an effective amount of the thiadiazoline derivative or a pharmacologically acceptable salt thereof according to any one of claims 1 to 12.

26. A method for inhibiting a mitotic kinesin Eg5 which comprises administering an effective amount of the thiadiazoline derivative or a pharmacologically acceptable salt thereof according to any one of claims 13 to 22.

27. Use of the thiadiazoline derivative or a pharmacologically acceptable salt thereof according to any one of claims 1 to 12 for the manufacture of a mitotic kinesin Eg5 inhibitor.

28. Use of the thiadiazoline derivative or a pharmacologically acceptable salt thereof according to any one of claims 13 to 22 for the manufacture of a mitotic kinesin Eg5 inhibitor.